

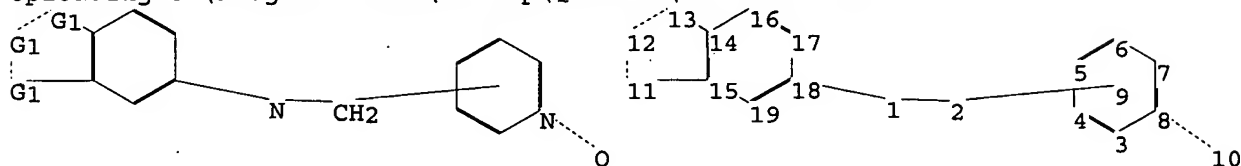
10/715,819

\*\*\*\*\* Welcome to STN International \*\*\*\*\*  
\*\*\*\*\* STN Columbus \*\*\*\*\*

FILE 'HOME' ENTERED AT 10:56:20 ON 01 DEC 2005

=> file reg

Uploading C:\Program Files\Stnexp\Queries\al0715819.str



chain nodes :

1 2 10

ring nodes :

3 4 5 6 7 8 11 12 13 14 15 16 17 18 19

chain bonds :

1-2 1-18 8-10

ring bonds :

3-4 3-8 4-5 5-6 6-7 7-8 11-12 11-15 12-13 13-14 14-15 14-16 15-19

16-17 17-18 18-19

exact/norm bonds :

1-2 1-18 8-10 11-12 11-15 12-13 13-14

normalized bonds :

3-4 3-8 4-5 5-6 6-7 7-8 14-15 14-16 15-19 16-17 17-18 18-19

G1:C,O,N

Match level :

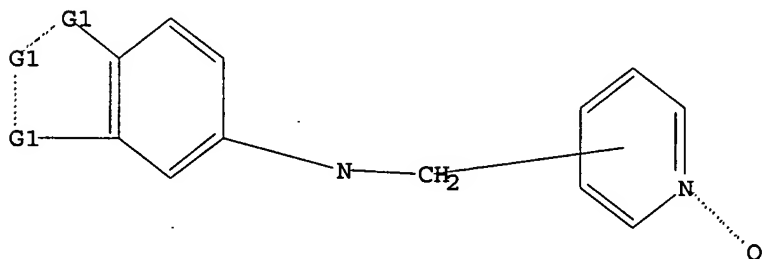
1:CLASS 2:CLASS 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:CLASS 10:CLASS  
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom

L1 STRUCTURE UPLOADED

=> dis l1

L1 HAS NO ANSWERS

L1 STR



G1 C,O,N

Structure attributes must be viewed using STN Express query preparation.

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L2 0 SEA SSS SAM L1

=> s l1 full

L3 3 SEA SSS FUL L1

=> dis l3 1-3

L3 ANSWER 1 OF 3 REGISTRY COPYRIGHT 2005 ACS on STN

RN 699004-52-9 REGISTRY

ED Entered STN: 25 Jun 2004

CN Benzoic acid, 3-[(1-cyclopentyl-3-ethyl-1H-indazol-6-yl) [(1-oxido-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

OTHER NAMES:

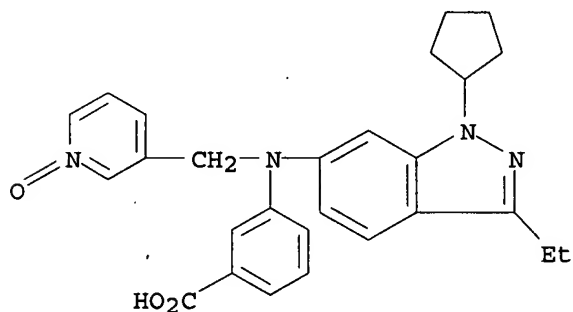
CN 1-Cyclopentyl-3-ethyl-6-[N-(3-carboxyphenyl)-N-[(1-oxo-3-pyridyl)methyl]amino]indazole

FS 3D CONCORD

MF C27 H28 N4 O3

SR CA

LC STN Files: CA, CAPLUS, USPATFULL



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 2 OF 3 REGISTRY COPYRIGHT 2005 ACS on STN

RN 388598-62-7 REGISTRY

ED Entered STN: 31 Jan 2002

CN 1,3-Propanedione, 1-(3,5-difluorophenyl)-2-[1,3-dihydro-5-[[[(1-oxido-3-pyridinyl)methyl]amino]-2H-benzimidazol-2-ylidene]-3-phenyl]- (9CI) (CA INDEX NAME)

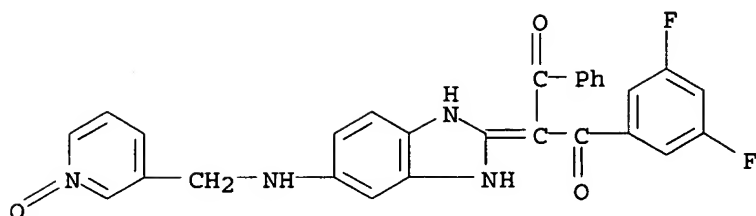
FS 3D CONCORD

MF C28 H20 F2 N4 O3

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

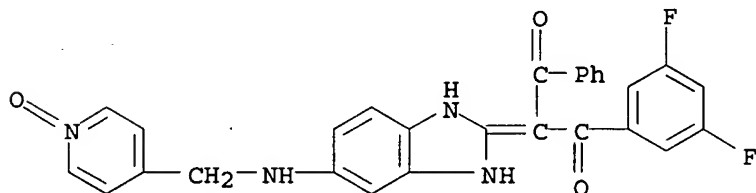
10/715,819



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 3 OF 3 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 388596-62-1 REGISTRY  
ED Entered STN: 31 Jan 2002  
CN 1,3-Propanedione, 1-(3,5-difluorophenyl)-2-[1,3-dihydro-5-[[1-oxido-4-pyridinyl)methyl]amino]-2H-benzimidazol-2-ylidene]-3-phenyl- (9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C28 H20 F2 N4 O3  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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L4 2 L3

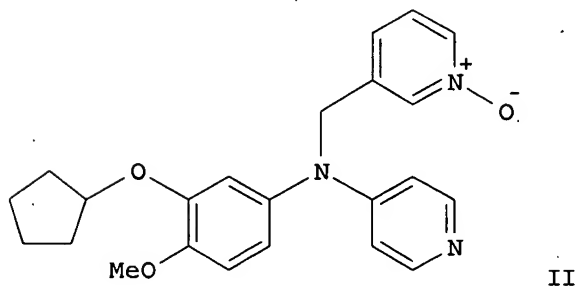
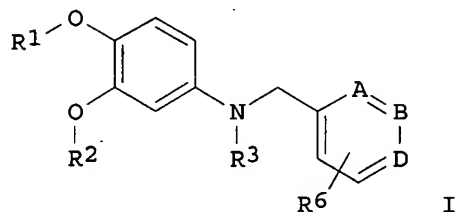
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L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN  
AN 2004:453188 CAPLUS  
DN 141:23427  
TI Preparation of N-oxides of heteroarylmethyl phenyl amines as phosphodiesterase 4 inhibitors  
IN Schumacher, Richard A.; Graham, Elizabeth Doorly; Hopper, Allen T.; Tehim, Ashok  
PA Memory Pharmaceuticals Corporation, USA  
SO PCT Int. Appl., 93 pp.

CODEN: PIXXD2

DT Patent  
LA English  
FAN. CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004046113	A2	20040603	WO 2003-US36986	20031119
	WO 2004046113	A3	20050324		
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	RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	CA 2506297	AA	20040603	CA 2003-2506297	20031119
	US 2004152902	A1	20040805	US 2003-715819	20031119
	BR 2003015705	A	20050906	BR 2003-15705	20031119
	EP 1569908	A2	20050907	EP 2003-786857	20031119
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
PRAI	US 2002-427221P	P	20021119		
	WO 2003-US36986	W	20031119		
OS	MARPAT 141:23427				
GI					



AB Nitrogen oxides of I [one of A, B, D = NO and the others are CR6; R1-2 = alkyl; R3 = H, cycloalkyl, etc.; R6 = H, halo, alkyl, alkoxy, CN, OH] and related derivs. are prepared For instance, 4-[(3-cyclopentyloxy-4-methoxyphenyl)amino]pyridine is alkylated with 3-chloromethylpyridine

N-oxide (preparation given) (DMF, NaH) to give II. I are inhibitors of PDE4 and useful for the treatment of depression, Alzheimer's disease, etc.

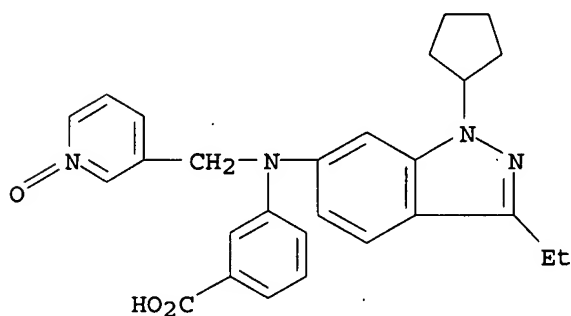
IT 699004-52-9P, 1-Cyclopentyl-3-ethyl-6-[N-(3-carboxyphenyl)-N-[(1-oxo-3-pyridyl)methyl]amino]indazole

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-oxides of heteroarylmethyl Ph amines as phosphodiesterase 4 inhibitors)

RN 699004-52-9 CAPLUS

CN Benzoic acid, 3-[(1-cyclopentyl-3-ethyl-1H-indazol-6-yl) [(1-oxido-3-pyridinyl)methyl]amino] - (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:31423 CAPLUS

DN 136:102388

TI Preparation of 2-(benzoazolidinylene)propane-1,3-dione derivatives as GnRH receptor antagonists

IN Hirano, Masaaki; Kawaminami, Eiji; Toyoshima, Akira; Moritomo, Hiroyuki; Seki, Norio; Wakayama, Ryutaro; Okada, Minoru; Kusayama, Toshiyuki

PA Yamanouchi Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 70 pp.

CODEN: PIXXD2

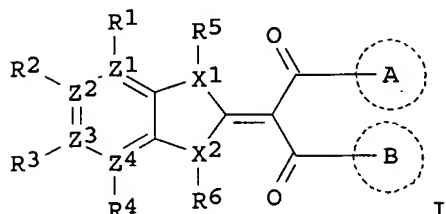
DT Patent

LA Japanese

FAN.CNT 1

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PI	WO 2002002533	A1	20020110	WO 2001-JP5813	20010704
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	CA 2415010	AA	20020110	CA 2001-2415010	20010704
	AU 2001071022	A5	20020114	AU 2001-71022	20010704
	EP 1300398	A1	20030409	EP 2001-949914	20010704
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	US 2003191164	A1	20031009	US 2002-311688	20021219
	US 6960591	B2	20051101		

PRAI JP 2000-204425 A 20000705  
 JP 2001-153372 A 20010523  
 WO 2001-JP5813 W 20010704  
 OS MARPAT 136:102388  
 GI



AB Described are medicinal compns., in particular, gonadotropin releasing hormone (GnRH) receptor antagonists comprising propane-1,3-dione derivs. represented by the following general formula [I; R1, R2, R3, R4 = H, NO2, cyano, halo, (un)substituted hydrocarbonyl, heterocyclyl, OH, CO2H, acyloxy, or acyl, substituent-S(O)<sub>n</sub>, H-S(O)<sub>n</sub> (wherein n = an integer of 0-2), (un)substituted CONH2, SO2NH2, or NH2; or two adjacent groups selected from R1-R4 are taken together to form aryl or cycloalkenyl; R5, R6 = H, halo, (un)substituted hydrocarbonyl or NH2; X1, X2 = N, S, O; A, B = (un)substituted aryl or heterocyclyl; Z1, Z2, Z3, Z4 = C, N; provided that (1) when X1 and X2 are S or O, both or one of R5 and R6 is absent or (2) when 1 to 4 of Z1, Z2, Z3, and /or Z4 is N, the corresponding R1, R2, R3, and/or R4 is absent.] as the active ingredient. These compds. I are nonpeptide compds. having a GnRH antagonism and lowering sex hormone and are useful for the treatment of sex hormone-dependent diseases such as prostate cancer, breast cancer, endometriosis, and hysteromyoma. Thus, K2CO3 and NaI were successively added to a soln. of 1-(3,5-difluorophenyl)-2-(5-hydroxy-1,3-dihydro-2H-benzimidazol-2-ylidene)-3-phenylpropane-1,3-dione (preparation given) and 3-chloromethylpyridine hydrochloride in MeCN and stirred at 80° for 3.5 h to give 1-(3,5-difluorophenyl)-2-[5-(3-pyridylmethoxy)-1,3-dihydro-2H-benzimidazol-2-ylidene]-3-phenylpropane-1,3-dione (II). II and 24 other compds. I in vitro showed IC<sub>50</sub> of 10<sup>-10</sup> to 10<sup>-9</sup> M for inhibiting the binding of 125I-D-Trp6-LHRH to human GnRH receptor. In particular, 2-(dihydrobenzimidazol-2-ylidene)propane-1,3-dione derivs. exhibited the GnRH receptor-inhibitory activity equivalent to that of the peptide GnRH antagonist cetorelix.

IT 388596-62-1P 388598-62-7P

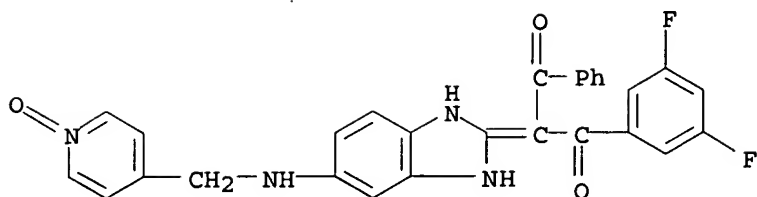
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (benzoazolidinylene)propanedione derivs. as GnRH receptor antagonists for treating sex hormone-dependent diseases)

RN 388596-62-1 CAPLUS

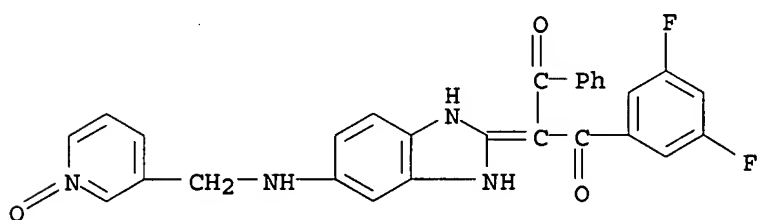
CN 1,3-Propanedione, 1-(3,5-difluorophenyl)-2-[1,3-dihydro-5-[(1-oxido-4-pyridinyl)methyl]amino]-2H-benzimidazol-2-ylidene]-3-phenyl- (9CI) (CA INDEX NAME)

10/715,819



RN 388598-62-7 CAPLUS

CN 1,3-Propanedione, 1-(3,5-difluorophenyl)-2-[1,3-dihydro-5-[(1-oxido-3-pyridinyl)methyl]amino]-2H-benzimidazol-2-ylidene]-3-phenyl- (9CI) (CA INDEX NAME)



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
10.33	177.39

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
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CA SUBSCRIBER PRICE

STN INTERNATIONAL LOGOFF AT 10:57:49 ON 01 DEC 2005

10/715,819

\*\*\*\*\* Welcome to STN International \*\*\*\*\*  
\*\*\*\*\* STN Columbus \*\*\*\*\*

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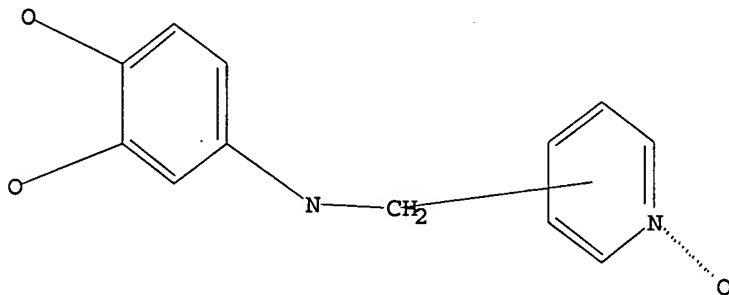
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L1 STRUCTURE UPLOADED

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L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

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=> s l1 full

L3 89 SEA SSS FUL L1

=> file caplus

=> s l3

L4 1 L3

=> dis l4 bib abs

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:453188 CAPLUS

DN 141:23427

TI Preparation of N-oxides of heteroarylmethyl phenyl amines as  
phosphodiesterase 4 inhibitors

IN Schumacher, Richard A.; Graham, Elizabeth Doorly; Hopper, Allen T.; Tehim,  
Ashok

PA Memory Pharmaceuticals Corporation, USA

SO PCT Int. Appl., 93 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004046113	A2	20040603	WO 2003-US36986	20031119
	WO 2004046113	A3	20050324		

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 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,  
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,  
 PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,  
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 ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,  
 TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2506297 AA 20040603 CA 2003-2506297 20031119  
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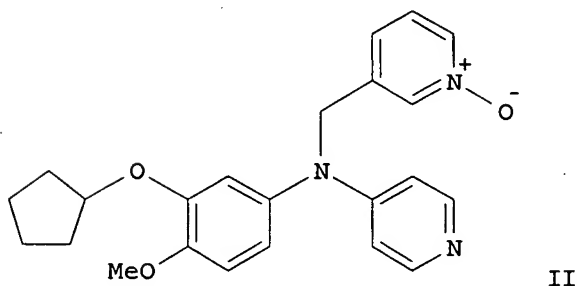
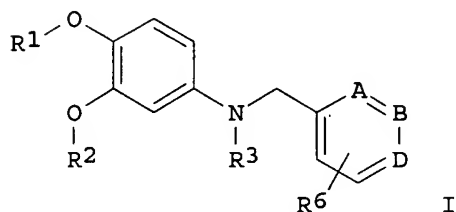
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 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

PRAI US 2002-427221P P 20021119

WO 2003-US36986 W 20031119

OS MARPAT 141:23427

GI



AB Nitrogen oxides of I [one of A, B, D = NO and the others are CR6; R1-2 = alkyl; R3 = H, cycloalkyl, etc.; R6 = H, halo, alkyl, alkoxy, CN, OH] and related derivs. are prepared. For instance, 4-[(3-cyclopentyloxy-4-methoxyphenyl)amino]pyridine is alkylated with 3-chloromethylpyridine N-oxide (preparation given) (DMF, NaH) to give II. I are inhibitors of PDE4 and useful for the treatment of depression, Alzheimer's disease, etc.

=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

10/715,819

FULL ESTIMATED COST	ENTRY 3.10	SESSION 164.64
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
CA SUBSCRIBER PRICE	ENTRY -0.73	SESSION -0.73

STN INTERNATIONAL LOGOFF AT 10:53:06 ON 01 DEC 2005